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antiplaque toothpaste triclosan mineral oil

US-PAT-NO: 4670252
DOCUMENT-IDENTIFIER: US 4670252 A

TITLE: Treatment of oral diseases

DATE-ISSUED: June 2, 1987

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Sampathkumar; Padmini	Fairfield	OH		

US-CL-CURRENT: 424/53; 424/48, 514/859, 514/900, 514/901, 514/902

CLAIMS:

What is claimed is:

1. A method of treating or preventing oral cavity, anaerobe infections in humans or lower animals by topically applying to the oral cavity tissue of the human or lower animal being treated, a safe and effective amount of a singlet oxygen generating organic monoperphthalic peroxy acid compound.

2. A method according to claim 1 of treating or preventing oral cavity anaerobe infections in humans or lower animals by topically applying to the oral cavity tissue of the human or lower animal being treated, a safe and effective amount of a monoperphthalic acid compound having the general structure: ##STR4## or its pharmaceutically-acceptable salts or esters, wherein R may be one or more substituents compatible with the peroxy acid functionality of the aromatic ring.

3. A method according to claim 2 wherein the anaerobe infections are dental plaque, or gingival, or periodontal diseases of the oral cavity.

4. A method according to claim 3 wherein R is selected from the group consisting of hydrogen, substituted and unsubstituted saturated alkyl having from 1 to about 20 carbon atoms, substituted and unsubstituted aryl, substituted and unsubstituted benzyl, chloro, fluoro, nitro, sulphonate, trifluoromethyl, trialkylammonium, cyano, carboxy, carboxylate, percarboxylate, alkoxy, and combinations thereof.

5. A method according to claim 3 wherein the safe and effective amount of monoperphthalic acid compound which is taken into the oral cavity is from about 5.times.10.sup.-6 moles to about 5.times.10.sup.-3 moles, based on the equivalents of peroxide units per compound.

6. A method according to claim 3 wherein the pH of the oral cavity during topical application of the monoperphthalic acid compound to the gingival tissue is from about pH=5 to about pH=8.

7. A method according to claim 4 wherein in the monoperphthalic acid compound R=hydrogen, or the pharmaceutically-acceptable salts or esters of that compound.

8. A method according to claim 7 wherein the monoperphthalic acid salt is the magnesium salt having the formula: ##STR5##

9. A method according to claim 8 wherein the concentration of the magnesium monoperphthalic acid in the oral cavity is such that the concentration of the active oxygen generated by the magnesium monoperphthalic acid is in the range of from about 30 ppm to about 1200 ppm.

10. A method according to claim 9 wherein the pH of the oral cavity during treatment is from about pH=7.0 to about pH=7.5.

11. A method according to claim 8 wherein the disease of the oral cavity is periodontal disease or gingivitis.

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Brief Summary Text (4):
Virtually all anaerobic infections arise endogenously. Anaerobic bacteria are a part of the normal flora of the skin. They also exist prevalently on all mucous membrane surfaces as indigenous flora. Given the proper circumstances and opportunity to penetrate tissues, anaerobes from the indigenous flora set up infections, such as gas gangrene, vulvovaginal abscess, chronic sinusitis, and Vincent's disease. While treatment with hyperbaric oxygen or hydrogen peroxide may be effective against certain anaerobe infections, there is a need for safe and effective methods of treating or preventing anaerobe infections generally.

Brief Summary Text (15):
U.S. Pat. No. 3,988,433, issued Oct. 26, 1976 to Benedict, and Great Britain Pat. No. 1,477,691, issued Oct. 19, 1977 to Jones et al., disclose compositions which contain alkyl and aryl peroxy acids. These compositions are used to remove stains from teeth.

Brief Summary Text (23):
The present invention relates to a method of treating or preventing topically-treatable anaerobe infections, especially diseases of the oral cavity (e.g. periodontal disease), in humans or lower animals by topically applying to the tissue, especially the tissue of the oral cavity, of the human or lower animal, a safe and effective amount of a singlet oxygen generating organic peroxy acid compound. By "singlet oxygen generating organic peroxy acid compound" as used herein is meant an organic acyl peroxide compound (e.g., an organic molecule which has at least one --CO.sub.3 H substituent) by itself, or in combination with hydrogen peroxide, whose oxidative ability is inhibited by greater than about 30% by a well-known singlet oxygen quencher (e.g. tertiary aliphatic amines such as 1,4-diazabicyclo[2.2.2.]octane ("DABCO")) when the quencher is added at the same molar concentration as the organic acyl peroxide in solution. This inhibition can be monitored in two ways: (a) by monitoring the oxidation by the organic peroxy acid compound of a reactive substrate such as 1,3-diphenylisobenzofuran in the presence and absence of equimolar amounts of the singlet oxygen quencher, especially DABCO; and (b) by monitoring the antibacterial activity towards anaerobic bacteria (especially Fusobacterium such as F.nucleatum) of the organic peroxy acid compound in the presence and absence of equimolar amounts of the singlet oxygen quencher, especially DABCO. Organic peroxy acid compounds whose activity, as monitored by (a) or (b) above are inhibited to an extent greater than about 30% by the singlet oxygen quencher (e.g., DABCO) are considered for the purposes of this invention to be singlet oxygen generating organic peroxy acid compounds.

Brief Summary Text (53):
The carriers of the present invention can include the usual and conventional components of toothpastes (including gels), mouth rinses, mouth sprays, chewing gums, lozenges, and sachets as more fully described hereinafter. Generally, however, the carriers are limited to materials which are free of hydroxyl groups and normally also to materials which do not contain reactive sites, such as for example amino, amido, iodo, bromo, and sulfhydryl groups, and unsaturated, imino, and thioether linkages when the composition of the present invention is to be stored for any appreciable period of time. Thus, it is preferred that the monoperphthalic acid compound be substantially anydrous until just prior to use, for example, preparing a

mouth rinse solution just prior to use by dissolving substantially anhydrous concentrate of monoperphthalic acid compound in water to the necessary concentration for use in the method of treatment of the present invention.

Detailed Description Paragraph Table (2):

Composition A magnesium monoperphthalate 5% triacetin balance Composition B magnesium monoperphthalate 2% mineral oil (SSF-60) balance Composition C magnesium monoperphthalate 10% menthyl acetate and menthene (1:1) 2% sodium alkyl (C.sub.10 -C.sub.12) sulfate 4% diethylether of polyethylene glycol (M.W. 1000) balance Composition D Component I: magnesium monoperphthalate 10% potassium polyethyloxylated (4) 4% coconut fatty alcohol sulfate methyl laurate balance Component II: dicalcium orthophosphate 40% eucalyptol 2% phosphate buffer 3% NaF 0.5% color 0.1% methyl laurate balance

Toothpaste Composition D is formed upon mixing, by coextrusion from separate chambers of a toothpaste tube, components I and II in a 1:1 rati just prior to use.